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- (71) Applicants (for all designated States except US): NOVIRIO PHARMACEUTICALS LIMITED [-/--]; Walker Secretaries, Walker House, Grand Cayman (KY). UNIVERSITA DEGLI STUDI DI CAGLIARI (IT/IT): Dip. Biologia Sperimentale. Sezione di Microbiologia. Cittadella Universitaria SS 554, Km. 4.500, I-09042 Monserrato (IT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): SOMMADOSSI, Jean-Pierre [FR/US]: 5075 Greystone Way, Birmingham, AL 35242 (US), LACOLLA, Paulo [IT/IT]: 5 Strada no. 11, Poggio dei Pini, I-09012 Capoterra (IT).
- (74) Agent: KNOWLES, Sherry, M.; King & Spalding, 191 Peachtree Steet, Atlanta, GA 30303-1763 (US).

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In. .ational Application No PCT/US 01/16671

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07H19/06 C07H CO7H19/10 C07H19/16 C07H19/20 A61K31/7068 A61K31/7076 A61P31/14 According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) C07H A61K A61P IPC 7 Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Relevant to claim No. Citation of document, with indication, where appropriate, of the relevant passages WO 99 43691 A (CHOI YONGSEOK ; CHU CHUNG K 25, Y 28-39, (US); HONG JOON H (US); SHI JUNXING (US) 52-63, 2 September 1999 (1999-09-02) 76, 79-90. 103-114, 127. 130-141. 154-165, 178 compounds 30,31 page 11, lines 25-31 the whole document -/--Patent family members are listed in annex. Further documents are listed in the continuation of box C. Special categories of cited documents : "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the "A" document defining the general state of the art which is not considered to be of particular relevance invention "E" earlier document but published on or after the international "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-ments, such combination being obvious to a person skilled "O" document referring to an oral disclosure, use, exhibition or in the art. document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 0 4 03 2002 6 February 2002 Authorized officer Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl. de Nooy, A

Fax: (+31-70) 340-3016

Inv. atlonal Application No
PCT/US 01/16671

		C1/US U1/10b/1
C.(Continu	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	
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Y	page 6689, introduction figure 1	25,28, 31,34, 37,52, 55,58, 61,76, 79,82, 85,88, 103,106, 109,112, 127,130, 133,136, 139,154, 157,160, 163,178
X	E. ROGERS ET AL.: "2'C-alkylribonucleosides: design, synthesis, and conformation" NUCLEOSIDES & NUCLEOTIDES, vol. 16, 1997, pages 1457-1460, XP002189347	2,5,8, 11,20, 22-24
Y	compounds 8a-f page 1457, paragraph 1	25,29, 32,35, 38,53, 56,59, 62,76, 80,83, 86,89, 104,107, 110,113, 127,131, 134,137, 140,155, 158,161, 164,178
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Inte .ional Application No PCT/US 01/16671

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X	J. FARKAS, F. SORM: "Nucleic acids components and their analogues. XCIV. Synthesis of 6-amino-9-(1-deoxy-beta-D-psicofuranosyl)p urine" COLLECTION CZECHOSLOV. CHEM. COMM., vol. 32, 1967, pages 2663-2667, XP001016337 cited in the application structure I and III	1,7,10,
X	H. HREBABECKY, J. FARKAS: "Synthesis of 7- and 9-beta-D-psicofuranosylguanine and their 1'-deoxy derivatives" COLLECTION CZECHOSLOV. CHEM. COMM., vol. 39, 1974, pages 2115-2123, XP002176340 compound VIII page 2116	1,7,10,
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Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	OIVANEN M ET AL: "ADDITIONAL EVIDENCE FOR THE EXCEPTIONAL MECHANISM OF THE ACID-CATALYSED HYDROLYSIS OF 4-OXOPYRIMIDINE NUCLEOSIDES: HYDROLYSIS OF 1-(1-ALKOXYALKYL)URACILS, SECONUCLEOSIDES, 3'-C-ALKYL NUCLEOSIDES AND NUCLEOSIDE 3',5'-CYCLIC MONOPHOSPHATES" JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 2, CHEMICAL SOCIETY. LETCHWORTH, GB, vol. 2, 1994, pages 309-314, XP000886596 ISSN: 1472-779X compounds 14a-c	3,6,9,12
X	GB 1 163 103 A (MERCK & CO INC) 4 September 1969 (1969-09-04) the whole document	3,9,12
X	S.P. ONG ET AL.: "Synthesis of 3'-C-methyladenosine and 3'-C-methyluridine diphosphates and their interaction with the ribonucleoside diphosphate reductase from Corynebacterium nephridii" BIOCHEMISTRY, vol. 31, 1992, pages 11210-11215, XP002189349 compounds 8-14	3,6,9,12
X	L.N. BEIGELMAN ET AL.: "Epimerization during acetolysis of 3-0-acetyl-5-0-benzoyl-1,2-0-isopropyliden e-3-C-methyl-alfa-D-ribofuranose." CARBOHYDRATE RESEARCH, vol. 181, 1988, pages 77-88, XP002189350 compounds 13-15	3,6,9,12
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E. WALTON ET AL.: "Branched-chain sugar nucleosides. V. Synthesis and antiviral properties of several branched-chain sugar nucleosides" J. MED. CHEM., vol. 12, 1969, pages 306-309, XP002189353 compounds 5,6,10,12,14,16-18	5,6,8,9, 11,12
V.L. TUNITSKAYA ET AL.: "Substrate properties of C'-methyl UTP derivatives in T7 RNA polymerase reactions. Evidence for N-type NTP conformation" FEBS LETTERS, vol. 400, 1997, pages 263-266, XP002189354 compounds 3 and 4	5,6,8,9, 11,12
	p-toluenesulfonylation and thiocarbonylation of unprotected thymine nucleosides" SYNLETT, 1993, pages 221-222, XP002189351 comound 1 S.N. MIKHAILOV ET AL.: "Hydrolysis of 2'- and 3'-c-methyluridine 2',3'-monophosphates and interconversion and dephosphorylation of the resulting 2'- and 3'-monophosphates: Comparison with the reactions of uridine monophosphates" J. ORG. CHEM., vol. 57, 1992, pages 4122-4126, XP002189352 compounds 2-5 MATSUDA A ET AL: "Nucleosides and nucleotides. 94. Radical deoxygenation of tert-alcohols in 1-(2-C-alkylpentafuranosyl)pyrimidines: Synthesis of (2'S)-2'-deoxy-2'-C-methylcytidine, an antileukemic nucleoside" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 34, 1991, pages 234-239, XP002178370 ISSN: 0022-2623 compounds 1i,j,4a,b,7,8,13,17 E. WALTON ET AL.: "Branched-chain sugar nucleosides. V. Synthesis and antiviral properties of several branched-chain sugar nucleosides" J. MED. CHEM., vol. 12, 1969, pages 306-309, XP002189353 compounds 5,6,10,12,14,16-18 V.L. TUNITSKAYA ET AL.: "Substrate properties of C'-methyl UTP derivatives in T7 RNA polymerase reactions. Evidence for N-type NTP conformation" FEBS LETTERS, vol. 400, 1997, pages 263-266, XP002189354 compounds 3 and 4

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X	A. MATSUDA ET AL.: "Alkyl addition reaction of pyrimidine 2'-ketonucleosides: synthesis of 2'-branched-chain sugar pyrimidine nucleosides" CHEM. PHARM. BULL., vol. 36, 1988, pages 945-953, XP002189356 compounds 13a,b,19a,b,20a,b	5,8,11, 22	
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SERAFINOWSKI P J ET AL: "NEW METHOD FOR THE PREPARATION OF SOME 2'- AND 3'-TRIFLUOROMETHYL- 2',3'-DIDEOXYURIDINE DERIVATIVES" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 56, no. 2, 1999, pages 333-339, XP001050335 ISSN: 0040-4020 Scheme 1	8,9,11, 12
HARAGUCHI K ET AL: "PREPARATION AND REACTIONS OF 2'- AND 3'-VINYL BROMIDES OF URACIL-NUCLEOSIDES: VERSATILE SYNTHONS FOR ANTI-HIV AGENTS" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 32, no. 28, 1991, pages 3391-3394, XP001041740 ISSN: 0040-4039 compounds 14,21	8,9
S.N. MIKHAILOV ET AL.: "Substrate properties of C'-methylnucleoside and C'-methyl-2'-deoxynucleoside 5'-triphosphates in RNA and DNA synthesis reactions catalysed by RNA and DNA polymerases" NUCLEOSIDES & NUCLEOTIDES, vol. 10, 1991, pages 339-343, XP001059775 compounds 3b,d,4b,d	8,9,11, 12
AKIRA MATSUDA ET AL: "NUCLEOSIDES AND NUCLEOTIDES 104. RADICAL AND PALLADIUM-CATALYZED DEOXYGENATION OF THE ALLYLIC ALCOHOL SYSTEMS IN THE SUGAR MOIETY OF PYRIMIDINE NUCLEOSIDES" NUCLEOSIDES & NUCLEOTIDES, DEKKER, NEW YORK,NY,, US, vol. 11, no. 2/4, 1992, pages 197-226, XP000573757 ISSN: 0732-8311 compounds 28,31	8,9
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Inte onal Application No PCT/US 01/16671

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Inter onal Application No
PCT/US 01/16671

C.(Continua	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	
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X	S. LAVAIRE ET AL.: "3'-Deoxy-3'-C-trifluoromethyl nucleosides: synthesis and antiviral evaluation" NUCLEOSIDES & NUCLEOTIDES, vol. 17, 1998, pages 2267-2280, XP002189364 compound 11	9,12
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X	I.I. FEDEROV ET AL.: "3'-C-Branched 2'-deoxy-5-methyluridines: Synthesis, enzyme inhibition, and antiviral properties" J. MED. CHEM., vol. 35, 1992, pages 4567-4575, XP002189365 compounds 12-14,16,17,19	9,12
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X	H. HATTORI ET AL.: "Nucleosides and nucleotides. 175." J. MED. CHEM., vol. 41, 1998, pages 2892-2902, XP002189367 Compounds 14-17d	9,12
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Ir. .ational Application No
PCT/US 01/16671

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·	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	Relevant to claim No.	
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Notovalit to cialin 140.	
X	A. ROSENTHAL, S.N. MIKHAILOV: "Branched-chain sugar nucleosides. Synthesis of 3'-C-ethyl (and 3'-C-butyl)uridine" CARBOHYDRATE RESEARCH, vol. 79, 1980, pages 235-242, XP002189368 compounds 12-15	9,12	
X	K. HARAGUCHI ET AL.: "Stereoselective synthesis of 1'-C-branched uracil nucleosides from uridine" NUCLEOSIDES & NUCLEOTIDES, vol. 14, 1995, pages 417-420, XP002189369 compounds 17,18	10	
X	ALTMANN ET AL: "The synthesis of 1'-methyl carbocyclic thymidine and its effect on nucleic acid duplex stability" SYNLETT, THIEME VERLAG, STUTTGART, DE, no. 10, October 1994 (1994-10), pages 853-855, XP002105092 ISSN: 0936-5214 compound 1	10	
X	M. KAWANA ET AL.: "The deoxygenations of tosylated adenosine derivatives with Grignard reagents" NUCLEIC ACIDS SYMP. SER., vol. 17, 1986, pages 37-40, XP001059719 compound 13	11	
X	K. WALCZAK, E.B. PEDERSEN: "Synthesis of 1-(3-alkyl-2,3-dideoxy-D-pentofuranosyl)ur acils with potential anti-HIV activity" ACTA CHEM. SCAND., vol. 45, 1991, pages 930-934, XP002189370 compound 10c	12	
X	H. USUI, T. UEDA: "Synthesis of 2'-deoxy-8,2'-ethanoadenosine and 3'-deoxy-8,3'-ethanoadenosine (Nucleosides and nucleotides. LXIV)" CHEM. PHARM. BULL., vol. 34, 1986, pages 15-23, XP002189371 compound 23	12	
Α	US 5 977 061 A (DE CLERCQ ERIK DESIRE ALICE ET AL) 2 November 1999 (1999-11-02) column 1 -column 4 column 13, line 6 - line 28	1,130	

In. ational Application No PCT/US 01/16671

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C.(Continua	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	LEYSSEN P ET AL: "PERSPECTIVES FOR THE TREATMENT OF INFECTIONS WITH FLAVIVIRIDAE" CLINICAL MICROBIOLOGY REVIEWS, WASHINGTON, DC, US, vol. 13, no. 1, January 2000 (2000-01), pages 67-82, XP000889854 ISSN: 0893-8512 page 71, right-hand column -page 72, left-hand column	1,130
A	BERENGUER M ET AL: "HEPATITIS B AND C VIRUSES: MOLECULAR IDENTIFICATION AND TARGETED ANTIVIRAL THERAPIES" PROCEEDINGS OF THE ASSOCIATION OF AMERICAN PHYSICIANS, BLACKWELL SCIENCE, INC, CAMBRIDGE, MA, US, vol. 110, no. 2, 1998, pages 98-112, XP000885891 ISSN: 1081-650X abstract	52,103

roternational application No. PCT/US 01/16671

INTERNATIONAL SEARCH REPORT

Box I	Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)
This Inte	ernational Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. χ	Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely: Although claims 79-129 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged
2. X	effects of the compound. Claims Nos.: Beens URTHER INFORMATION above application to the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
з. [Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box II	Observations where unity of invention is lacking (Continuation of item 2 of first sheet)
This Inte	ernational Searching Authority found multiple inventions in this international application, as follows: see additional sheet
, X	As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.	As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
з	As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.	No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:
Remark	The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 7-12, 25-27, 34-39, 58-63, 76-78, 85-90, 109-114, 127-129, 136-141, 160-165, 178-180 (all partially)

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons it appears impossible to execute a meaningful search and/or to issue a complete search report over the whole breadth of the above mentioned claims. Consequently, the search has been restricted to the compounds of the above mentioned claims where R6 is methyl, propyl, butyl, CF3 or Br-vinyl. Furthermore, in the case where R6 is methyl for compounds XI, XIV, XVII, or XVIII of the above mentioned claims, only several documents were cited.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1,4,13-18,25-27 (in part),28,31,40-45,52,55,64-69,
76-78 (in part),79,82,91-96,103,106,115-120,
127-129 (in part),130,133,142-147,154,157,166-171,
178 (in part),180 (in part)

Compounds of Formula I of claim 1 or compounds of Formula IV of claim 4, pharmaceutical compositions and uses pertaining thereto.

2. Claims: 2,5,19-24,25-27 (in part),29,32,46-51,53,56,70-75,
76-78 (in part),80,83,97-102,104,107,121-126,
127-129 (in part),131,134,148-153,155,158,172-177,
178 (in part),179, 180 (in part)

Compounds of Formula II of claim 2 or compounds of Formula ${\bf V}$ of claim 5, pharmaceutical compositions and uses pertaining thereto.

3. Claims: 3,6,25-27 (in part),30,33,54,57,76-78 (in part),81, 84,105,108,127-129 (in part),132,135,156,159, 178 (in part),180 (in part)

Compounds of Formula III of claim 3 or compounds of Formula VI of claim 6, pharmaceutical compositions and uses pertaining thereto.

4. Claims: 7,25-27 (in part),34,58,76-78 (in part),85,109, 127-129 (in part),136,160,178 (in part), 180 (in part)

Compounds of Formulae VII or VIII or IX of claim 7, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

5. Claims: 8,25-27 (in part),35,59,76-78 (in part),86,110, 127-129 (in part),137,161,178 (in part), 180 (in part)

Compounds of Formulae X or XI or XII of claim 8, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

6. Claims: 9,25-27 (in part),36,60,76-78 (in part),87,111,
127-129 (in part),138,162,178 (in part),
180 (in part)

Compounds of Formulae XIII or XIV or XV of claim 9, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

7. Claims: 10, 25-27 (in part), 37, 61, 76-78 (in part), 88, 112, 127-129 (in part), 139, 163, 178 (in part), 180 (in part)

Compounds of Formula XVI of claim 10, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

8. Claims: 11,25-27 (in part),38,62,76-78 (in part),89,113, 127-129 (in part),140,164,178 (in part), 180 (in part)

Compounds of Formula XVII of claim 11, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

9. Claims: 12,25-27 (in part),39,63,76-78 (in part),90,114, 127-129 (in part),141,165,178 (in part), 180 (in part)

Compounds of Formula XVIII of claim 12, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

information on patent family members

Inter anal Application No
PCT/US 01/16671

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